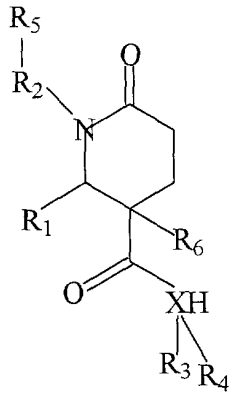
WE CLAIM:

1. A combinatorial library of two or more compounds of the formula:



wherein:

X is selected from the group consisting of N and H;

R_1 is selected from the group consisting of a substituted aromatic heterocyclic ring, C_3-C_{12} substituted alicycle and substituted phenyl;

R_2 is selected from the group consisting of C_1 to C_7 alkoxy; C_1 to C_7 substituted alkoxy; C_2-C_7 alkenyl; C_1 to C_7 substituted alkenyl; C_2 to C_7 alkynyl; C_2 to C_7 substituted alkynyl; unsubstituted phenyl; naphthyl; substituted phenoxy; C_2 to C_7 heterocyclic ring; substituted C_2 to C_7 heterocyclic ring; substituted cyclic C_2 to C_7 alkylene; C_1 to C_6 alkyl; C_1 to C_6 substituted alkyl; C_3 to C_7 cycloalkyl; C_3 to C_7 substituted cycloalkyl; C_1 to C_7 alkoxy; halo; C_1 to C_{10} alkylthio; C_1 to C_{10} substituted alkylthio; C_1 to C_{10} alkylnitrile; a C_7 to C_{18} substituted phenylalkyl; and substituted phenyl;

R_3 and R_4 are independently selected from the group consisting of –OH; H; C_1 to C_6 alkyl; C_1 to C_6 substituted alkyl; C_2 to C_7 alkenyl; C_1 to C_7 alkoxy; C_1 to C_7 substituted alkoxy; C_3 to C_7 cycloalkyl; C_3 to C_7 substituted cycloalkyl; C_1 to C_{10} alkylthio; C_1 to C_{10} alkylnitrile; C_1 to C_4 alcohol; phenyl; substituted phenyl; C_1 to C_6 substituted alkyl; C_1 to C_7 alkoxy; C_3 to C_7 cycloalkyl; and C_3 to C_7

substituted cycloalkyl; C_2 to C_7 heterocyclic ring; C_2 to C_7 substituted heterocyclic ring; phenoxy; and substituted phenoxy,

R_5 is selected from the group consisting of H and NH_2, and

R_6 is selected from the group consisting of phenyl, substituted phenyl, C_2 to C_7 heterocyclic ring, and substituted C_2 to C_7 heterocyclic ring;

and wherein

said C_1 to C_6 substituted alkyl, said C_1 to C_4 substituted alkylthio and said C_1 to C_7 substituted alkoxy are substituted by one or more substituents independently selected from the group consisting of halogen, hydroxy, protected hydroxy, oxo, protected oxo, C_3 to C_7 cycloalkyl, naphthyl, amino, protected amino, substituted amino, protected substituted amino, guanidino, protected guanidino, heterocyclic ring, substituted heterocyclic ring, imidazolyl, indolyl, pyrrolidinyl, C_1 to C_7 alkoxy, C_1 to C_7 acyl, C_1 to C_7 acyloxy, nitro, carboxy, protected carboxy, carbamoyl, carboxamide, protected carboxamide, N-(C_1 to C_6 alkyl)carboxamide, protected N-(C_1 to C_6 alkyl)carboxamide, N,N-di(C_1 to C_6 alkyl)carboxamide, cyano, methylsulfonylamino, thiol, phenyl, substituted phenyl, C_1 to C_4 alkylthio and C_1 to C_4 alkylsulfonyl groups,

said C_3 to C_7 substituted cycloalkyl is substituted by one or more substituents independently selected from the group consisting of halogen, hydroxy, protected hydroxy, C_1 to C_4 alkylthio, C_1 to C_4 alkylsulfoxide, C_1 to C_4 alkylsulfonyl, C_1 to C_4 substituted alkylthio, C_1 to C_4 substituted alkylsulfoxide, C_1 to C_4 substituted alkylsulfonyl, C_1 to C_6 alkyl, C_1 to C_7 alkoxy, C_1 to C_6 substituted alkyl, C_1 to C_7 alkoxy, oxo, protected oxo, substituted amino, trifluoromethyl, carboxy, protected carboxy, phenyl, substituted phenyl, phenylthio, phenylsulfoxide, phenylsulfonyl, amino, and protected amino groups,

said substituted phenyl, substituted aromatic heterocyclic ring and substituted alicycle are substituted with at least one substituent independently selected from the group consisting of H, halogen, hydroxy, protected hydroxy, cyano, nitro, C_1 to C_6 alkyl, C_1 to C_6 substituted alkyl, C_1 to C_7 alkoxy, C_1 to C_7 substituted alkoxy, C_1 to C_7 acyl, C_1 to C_7 substituted acyl, thio, C_1 to C_7 alkylthio,

C_1 to C_7 acyloxy, carboxy, protected carboxy, carboxymethyl, protected carboxymethyl, hydroxymethyl, protected hydroxymethyl, amino, protected amino, substituted amino, protected substituted amino, carboxamide, protected carboxamide, N-(C_1 to C_6 alkyl)carboxamide, protected N-(C_1 to C_6 alkyl)carboxamide, N, N-di(C_1 to C_6 alkyl)carboxamide, trifluoromethyl, N-((C_1 to C_6 alkyl)sulfonyl)amino, NB(phenylsulfonyl)amino, phenyl and substituted phenyl, said substituted amino is substituted with one or two substituents independently selected from the group consisting of phenyl, substituted phenyl, C_1 to C_6 alkyl, C_1 to C_6 substituted alkyl, C_1 to C_7 acyl, C_1 to C_7 substituted acyl, C_2 to C_7 alkenyl, C_2 to C_7 substituted alkenyl, C_2 to C_7 alkynyl, C_2 to C_7 substituted alkynyl, C_7 to C_{12} phenylalkyl, C_7 to C_{12} substituted phenylalkyl and a heterocyclic ring,

said substituted phenoxy is substituted with one or more substituents independently selected from the group consisting of halogen, hydroxy, protected hydroxy, cyano, nitro, C_1 to C_{12} alkyl, C_1 to C_{12} alkoxy, C_1 to C_{12} substituted alkoxy, C_1 to C_{12} acyl, C_1 to C_{12} acyloxy, carboxy, protected carboxy, carboxymethyl, protected carboxymethyl, hydroxymethyl, protected hydroxymethyl, amino, protected amino, substituted amino, protected substituted amino, carboxamide, protected carboxamide, N-(C_1 to C_{12} alkyl)carboxamide, protected N-(C_1 to C_{12} alkyl)carboxamide, N, N-di(C_1 to C_{12} alkyl)carboxamide, trifluoromethyl, N-((C_1 to C_{12} alkyl)sulfonyl)amino and N- (phenylsulfonyl)amino,

said C_7 to C_{18} substituted phenylalkyl and said C_1 to C_{12} substituted heterocycloalkyl are substituted with one or more substituents independently selected from the group consisting of halogen, hydroxy, protected hydroxy, oxo, protected oxo, amino, protected amino, substituted amino, protected substituted amino, guanidino, protected guanidino, heterocyclic ring, substituted heterocyclic ring, C_1 to C_{12} alkyl, C_1 to C_{12} substituted alkyl, C_1 to C_{12} alkoxy, C_1 to C_{12} substituted alkoxy, C_1 to C_{12} acyl, C_1 to C_{12} substituted acyl, C_1 to C_{12} acyloxy, nitro, carboxy, protected carboxy, carbamoyl, carboxamide, protected carboxamide, N-(C_1 to C_{12} alkyl)carboxamide, protected N-(C_1 to C_{12} alkyl)carboxamide, N, N-(C_1 to C_{12} dialkyl)carboxamide, cyano, N-(C_1 to C_{12}

alkylsulfonyl)amino, thiol, C_1 to C_{10} alkylthio, and C_1 to C_{10} alkylsulfonyl; and if substituted any phenyl group is substituted with at least one substituent independently selected from the group consisting of halogen, hydroxy, protected hydroxy, cyano, nitro, C_1 to C_{12} alkyl, C_1 to C_{12} substituted alkyl, C_1 to C_{12} alkoxy, C_1 to C_{12} substituted alkoxy, C_1 to C_{12} acyl, C_1 to C_{12} substituted acyl, C_1 to C_{12} acyloxy, carboxy, protected carboxy, carboxymethyl, protected carboxymethyl, hydroxymethyl, protected hydroxymethyl, amino, protected amino, substituted amino, protected substituted amino, carboxamide, protected carboxamide, N-(C_1 to C_{12} alkyl)carboxamide, protected N-(C_1 to C_{12} alkyl)carboxamide, N, N-di(C_1 to C_{12} alkyl)carboxamide, trifluoromethyl, N-((C_1 to C_{12} alkyl)sulfonyl)amino, N-(phenylsulfonyl)amino, cyclic C_2 to C_{12} alkylene and a substituted or unsubstituted phenyl group, and

said substituted heterocyclic ring is substituted with at least one substituent independently selected from the group consisting of halogen, hydroxy, protected hydroxy, cyano, nitro, C_1 to C_{12} alkyl, C_1 to C_{12} alkoxy, C_1 to C_{12} substituted alkoxy, C_1 to C_{12} acyl, C_1 to C_{12} acyloxy, carboxy, protected carboxy, carboxymethyl, protected carboxymethyl, hydroxymethyl, protected hydroxymethyl, amino, protected amino, substituted amino, protected substituted amino, carboxamide, protected carboxamide, N-(C_1 to C_{12} alkyl)carboxamide, protected N-(C_1 to C_{12} alkyl)carboxamide, N, N-di(C_1 to C_{12} alkyl)carboxamide, trifluoromethyl, N-((C_1 to C_{12} alkyl)sulfonyl)amino, N-(phenylsulfonyl)amino, heterocycle and substituted heterocycle.

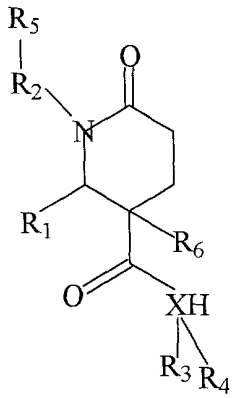
2. The combinatorial library according to claim 1, wherein said C_1 to C_6 substituted alkyl is substituted with at least one substituent selected from the group consisting of thiol, halo, C_1 to C_6 alkoxy, and phenyl unsubstituted or substituted with a substituent selected from the group consisting of halo and C_1 to C_6 alkoxy.

3. The combinatorial library according to claim 1, wherein R_1 is a substituted phenyl.

4. The combinatorial library according to claim 1, wherein R_5 is H.

5. The combinatorial library according to claim 1, wherein R_5 is NH_2.

6. A compound of the formula:



wherein:

X is selected from the group consisting of N and H;

R_1 is selected from the group consisting of a substituted aromatic heterocyclic ring, C_3-C_{12} substituted alicycle and substituted phenyl;

R_2 is selected from the group consisting of C_1 to C_7 alkoxy; C_1 to C_7 substituted alkoxy; C_2-C_7 alkenyl; C_1 to C_7 substituted alkenyl; C_2 to C_7 alkynyl; C_2 to C_7 substituted alkynyl; unsubstituted phenyl; naphthyl; substituted phenoxy; C_2 to C_7 heterocyclic ring; substituted C_2 to C_7 heterocyclic ring; substituted cyclic C_2 to C_7 alkylene; C_1 to C_6 alkyl; C_1 to C_6 substituted alkyl; C_3 to C_7 cycloalkyl; C_3 to C_7 substituted cycloalkyl; C_1 to C_7 alkoxy; halo; C_1 to C_{10} alkylthio; C_1 to C_{10} substituted alkylthio; C_1 to C_{10} alkylnitrile; a C_7 to C_{18} substituted phenylalkyl; and substituted phenyl;

R_3 and R_4 are independently selected from the group consisting of –OH; H; C_1 to C_6 alkyl; C_1 to C_6 substituted alkyl; C_2 to C_7 alkenyl; C_1 to C_7 alkoxy; C_1 to C_7 substituted alkoxy; C_3 to C_7 cycloalkyl; C_3 to C_7 substituted cycloalkyl; C_1 to C_{10} alkylthio; C_1 to C_{10} alkylnitrile; C_1 to C_4 alcohol; phenyl; substituted phenyl; C_1 to C_6 substituted alkyl; C_1 to C_7 alkoxy; C_3 to C_7 cycloalkyl; and C_3 to C_7 substituted cycloalkyl; C_2 to C_7 heterocyclic ring; C_2 to C_7 substituted heterocyclic ring; phenoxy; and substituted phenoxy,

R_5 is selected from the group consisting of H and NH_2, and

R_6 is selected from the group consisting of phenyl, substituted phenyl, C_2 to C_7 heterocyclic ring, and substituted C_2 to C_7 heterocyclic ring,

and wherein

said C_1 to C_6 substituted alkyl, said C_1 to C_4 substituted alkylthio and said C_1 to C_7 substituted alkoxy are substituted by one or more substituents independently selected from the group consisting of halogen, hydroxy, protected hydroxy, oxo, protected oxo, C_3 to C_7 cycloalkyl, naphthyl, amino, protected amino, substituted amino, protected substituted amino, guanidino, protected guanidino, heterocyclic ring, substituted heterocyclic ring, imidazolyl, indolyl, pyrrolidinyl, C_1 to C_7 alkoxy, C_1 to C_7 acyl, C_1 to C_7 acyloxy, nitro, carboxy, protected carboxy, carbamoyl, carboxamide, protected carboxamide, N-(C_1 to C_6 alkyl)carboxamide, protected N-(C_1 to C_6 alkyl)carboxamide, N,N-di(C_1 to C_6 alkyl)carboxamide, cyano, methylsulfonylamino, thiol, phenyl, substituted phenyl, C_1 to C_4 alkylthio and C_1 to C_4 alkylsulfonyl groups,

said C_3 to C_7 substituted cycloalkyl is substituted by one or more substituents independently selected from the group consisting of halogen, hydroxy, protected hydroxy, C_1 to C_4 alkylthio, C_1 to C_4 alkylsulfoxide, C_1 to C_4 alkylsulfonyl, C_1 to C_4 substituted alkylthio, C_1 to C_4 substituted alkylsulfoxide, C_1 to C_4 substituted alkylsulfonyl, C_1 to C_6 alkyl, C_1 to C_7 alkoxy, C_1 to C_6 substituted alkyl, C_1 to C_7 alkoxy, oxo, protected oxo, substituted amino, trifluoromethyl, carboxy, protected carboxy, phenyl, substituted phenyl, phenylthio, phenylsulfoxide, phenylsulfonyl, amino, and protected amino groups,

said substituted phenyl, substituted aromatic heterocyclic ring and substituted alicycle are substituted with at least one substituent independently selected from the group consisting of H, halogen, hydroxy, protected hydroxy, cyano, nitro, C_1 to C_6 alkyl, C_1 to C_6 substituted alkyl, C_1 to C_7 alkoxy, C_1 to C_7 substituted alkoxy, C_1 to C_7 acyl, C_1 to C_7 substituted acyl, thio, C_1 to C_7 alkylthio, C_1 to C_7 acyloxy, carboxy, protected carboxy, carboxymethyl, protected carboxymethyl, hydroxymethyl, protected hydroxymethyl, amino, protected amino, substituted amino, protected substituted amino, carboxamide, protected carboxamide, N-(C_1 to C_6 alkyl)carboxamide, protected N-(C_1 to C_6 alkyl)carboxamide, N, N-di(C_1 to C_6 alkyl)carboxamide, trifluoromethyl, N-((C_1 to C_6 alkyl)sulfonyl)amino, NB(phenylsulfonyl)amino, phenyl and substituted phenyl,

said substituted amino is substituted with one or two substituents independently selected from the group consisting of phenyl, substituted phenyl, C_1 to C_6 alkyl, C_1 to C_6 substituted alkyl, C_1 to C_7 acyl, C_1 to C_7 substituted acyl, C_2 to C_7 alkenyl, C_2 to C_7 substituted alkenyl, C_2 to C_7 alkynyl, C_2 to C_7 substituted alkynyl, C_7 to C_{12} phenylalkyl, C_7 to C_{12} substituted phenylalkyl and a heterocyclic ring,

said substituted phenoxy is substituted with one or more substituents independently selected from the group consisting of halogen, hydroxy, protected hydroxy, cyano, nitro, C_1 to C_{12} alkyl, C_1 to C_{12} alkoxy, C_1 to C_{12} substituted alkoxy, C_1 to C_{12} acyl, C_1 to C_{12} acyloxy, carboxy, protected carboxy, carboxymethyl, protected carboxymethyl, hydroxymethyl, protected hydroxymethyl, amino, protected amino, substituted amino, protected substituted amino, carboxamide, protected carboxamide, N-(C_1 to C_{12} alkyl)carboxamide, protected N-(C_1 to C_{12} alkyl)carboxamide, N, N-di(C_1 to C_{12} alkyl)carboxamide, trifluoromethyl, N-((C_1 to C_{12} alkyl)sulfonyl)amino and N- (phenylsulfonyl)amino,

said C_7 to C_{18} substituted phenylalkyl and said C_1 to C_{12} substituted heterocycloalkyl are substituted with one or more substituents independently selected from the group consisting of halogen, hydroxy, protected hydroxy, oxo, protected oxo, amino, protected amino, substituted amino, protected substituted amino, guanidino, protected guanidino, heterocyclic ring, substituted heterocyclic

ring, C_1 to C_{12} alkyl, C_1 to C_{12} substituted alkyl, C_1 to C_{12} alkoxy, C_1 to C_{12} substituted alkoxy, C_1 to C_{12} acyl, C_1 to C_{12} substituted acyl, C_1 to C_{12} acyloxy, nitro, carboxy, protected carboxy, carbamoyl, carboxamide, protected carboxamide, N-(C_1 to C_{12} alkyl)carboxamide, protected N-(C_1 to C_{12} alkyl)carboxamide, N, N-(C_1 to C_{12} dialkyl)carboxamide, cyano, N-(C_1 to C_{12} alkylsulfonyl)amino, thiol, C_1 to C_{10} alkylthio, and C_1 to C_{10} alkylsulfonyl; and if substituted any phenyl group is substituted with at least one substituent independently selected from the group consisting of halogen, hydroxy, protected hydroxy, cyano, nitro, C_1 to C_{12} alkyl, C_1 to C_{12} substituted alkyl, C_1 to C_{12} alkoxy, C_1 to C_{12} substituted alkoxy, C_1 to C_{12} acyl, C_1 to C_{12} substituted acyl, C_1 to C_{12} acyloxy, carboxy, protected carboxy, carboxymethyl, protected carboxymethyl, hydroxymethyl, protected hydroxymethyl, amino, protected amino, substituted amino, protected substituted amino, carboxamide, protected carboxamide, N-(C_1 to C_{12} alkyl)carboxamide, protected N-(C_1 to C_{12} alkyl)carboxamide, N, N-di(C_1 to C_{12} alkyl)carboxamide, trifluoromethyl, N-((C_1 to C_{12} alkyl)sulfonyl)amino, N-(phenylsulfonyl)amino, cyclic C_2 to C_{12} alkylene and a substituted or unsubstituted phenyl group, and

said substituted heterocyclic ring is substituted with at least one substituent independently selected from the group consisting of halogen, hydroxy, protected hydroxy, cyano, nitro, C_1 to C_{12} alkyl, C_1 to C_{12} alkoxy, C_1 to C_{12} substituted alkoxy, C_1 to C_{12} acyl, C_1 to C_{12} acyloxy, carboxy, protected carboxy, carboxymethyl, protected carboxymethyl, hydroxymethyl, protected hydroxymethyl, amino, protected amino, substituted amino, protected substituted amino, carboxamide, protected carboxamide, N-(C_1 to C_{12} alkyl)carboxamide, protected N-(C_1 to C_{12} alkyl)carboxamide, N, N-di(C_1 to C_{12} alkyl)carboxamide, trifluoromethyl, N-((C_1 to C_{12} alkyl)sulfonyl)amino, N-(phenylsulfonyl)amino, heterocycle and substituted heterocycle.

7. The compound according to claim 6, wherein said C_1 to C_6 substituted alkyl is substituted with at least one substituent selected from the group

consisting of thiol, halo, C_1 to C_6 alkoxy, and phenyl unsubstituted or substituted with a substituent selected from the group consisting of halo and C_1 to C_6 alkoxy.

8. The compound according to claim 6, wherein R_1 is a substituted phenyl.

9. The compound according to claim 6, wherein R_5 is H.

10. The compound according to claim 6, wherein R_5 is NH_2.

11. A method of making the compound of claim 6, comprising

preparing a resin bound aldehyde or diamine,

reacting said resin bound aldehyde with an amine, or said resin bound diamine with an aldehyde, to form a resin bound imine,

cyclizing said resin bound imine to produce a resin bound carboxylic acid,

acylating said resin bound carboxylic acid, and

cleaving and extracting said piperidine-3-carboxamide derivative compound from said resin.

12. The method according to claim 11, wherein said aldehyde is selected from the group consisting of 4-hydroxybenzaldehyde, 3-hydroxybenzaldehyde, 2-hydroxy-5-methylbenzaldehyde, 3,5-dimethyl-4-hydroxybenzaldehyde, 2-hydroxy-4-methoxybenzaldehyde, 3-ethoxysalicylaldehyde, 2-hydroxy-1-naphthaldehyde, 5-bromosalicylaldehyde, cyclopropanecarboxaldehyde, 3-furaldehyde, benzaldehyde, 2-thiophenecarboxaldehyde, 3-thiophenecarboxaldehyde, P-tolualdehyde, 4,5-dimethyl-2-furancarboxaldehyde, P-anisaldehyde, 5-methylfurfural, O-tolualdehyde, 2,4,5-trimethylbenzaldehyde, piperonal, 5-methyl-2-thiophenecarboxaldehyde, 4-(difluoromethyoxy)benzaldehyde, 5-bromo-2-furaldehyde, 4-biphenylcarboxaldehyde and 5-bromo-2-thiophenecarboxaldehyde.

13. The method according to claim 12, wherein said resin is *p*-benzyloxybenzyl alcohol-polystyrene.

14. The method according to claim 12, wherein said diamine is selected from the group consisting of ethylenediamine, 1,3-diaminopropane, 1,4-diaminobutane, trans-1,2-cyclohexanediamine, and trans-1,4-diaminocyclohexane.

15. The method according to claim 12, wherein said resin bound aldehyde is reacted with an amine selected from the group consisting of methylamine, ethylamine, propargylamine, cyclopropylamine, allylamine, propylamine, 3-aminopropionitrile, isobutylamine, cyclopentylamine, cyclohexylamine, hexylamine, N-acetylethylenediamine, 3-ethoxypropylamine, 4-chlorobenzylamine, 1-(3-aminopropyl)-2-pyrrolidinone, tryptamine, 3-(trifluoromethyl) benzylamine, 2,4-diclorophenethylamine, 4-amino-1-benzylpiperidine, benzylamine, 2,2-thiobis(ethylamine), and N,N-Bis(3-aminopropyl)methylamine.

16. The method according to claim 12, wherein said resin bound carboxylic acid is acylated in the presence of an amine selected from the group consisting of nipecotamide, 1-(2-aminoethyl)pyrrolidine, pyrrolidine, histamine, cyclopentylamine, allylamine, 2-methoxyethylamine, cyclohexylamine, 1-methylpiperazine, tetrahydrofurfurylamine, 4-methylbenzylamine, 3-fluorobenzylamine, 4-fluorobenzylamine, 1-(3-aminopropyl)imidazole, cyclopropylamine, propylamine, ethanolamine, 2-thiophenemethylamine, n,n-dimethyl-1,3-propanediamine, 1-(2-aminoethyl)piperidine, isoamylamine, 3-ethoxypropylamine, (r)-(-)-1-cyclohexylethylamine, neopentylamine, 3-(methylthio)propylamine, isobutylamine, 3-amino-1-propanol, 2-ethoxyethylamine, 2,6-dimethylpiperazine, propargylamine, thiophene-2-ethylamine, butylamine, 2-amino-1-methoxypropane, 3-aminopropionitrile, 3-methylpiperidine, P-anisidine, 1,2,3,6-tetrahydropyridine, 2,6-

dimethylmorpholine, methoxyamine hydrochloride, n-ethylpiperazine, water, and hydroxylamine.

17. The compound according to claim 6, wherein said compound is bound to a polystyrene resin.

18. The compound according to claim 17 wherein said polystyrene resin is PEG-grafted polystyrene resin.

19. The compound according to claim 17, wherein said polystyrene resin is *p*-benzyloxybenzyl alcohol-polystyrene.